

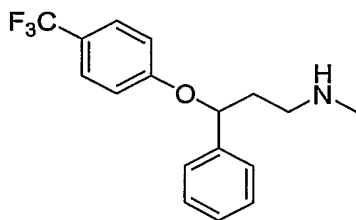
Figure 1

Figure 2

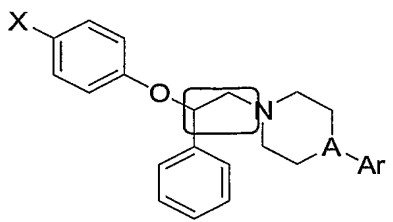
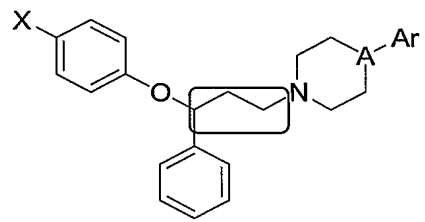
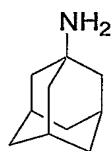
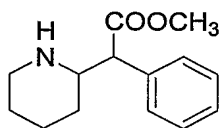
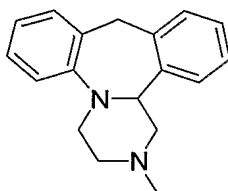
| Homologues Type I | Homologues Type II |
|---|--|
|  <p data-bbox="243 724 795 861">Aminoethane Derivatives X = F or CF₃ A = N or C (piperazine or piperidine) Ar = variety of aryl groups</p> |  <p data-bbox="844 724 1396 861">Aminopropane Derivatives X = F or CF₃ A = N or C (piperazine or piperidine) Ar = variety of aryl groups</p> |

Figure 3

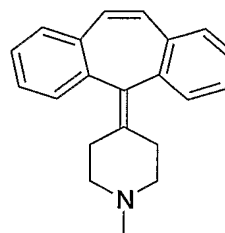
amantadine



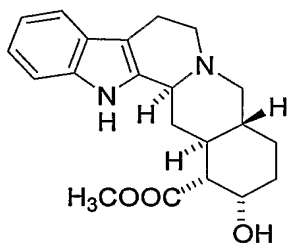
methylphenidate



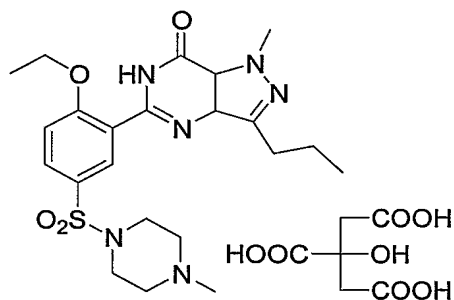
mianserin



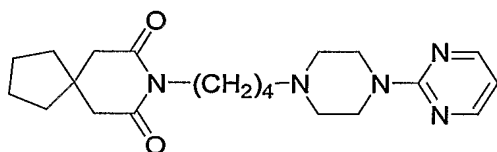
cyproheptadine



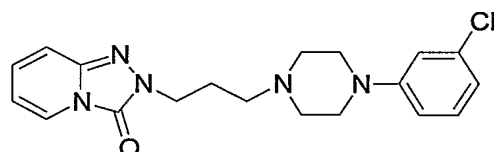
yohimbine



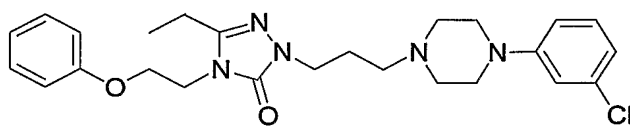
sildenafil citrate



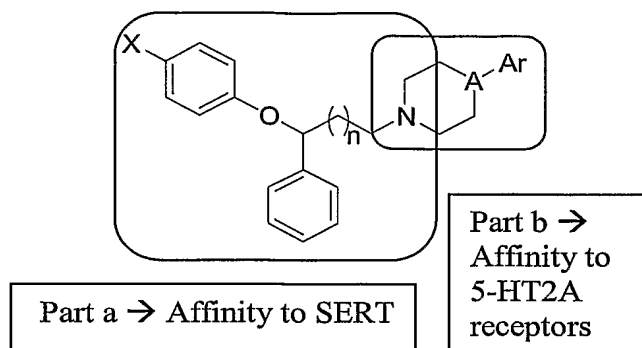
buspirone



trazodone



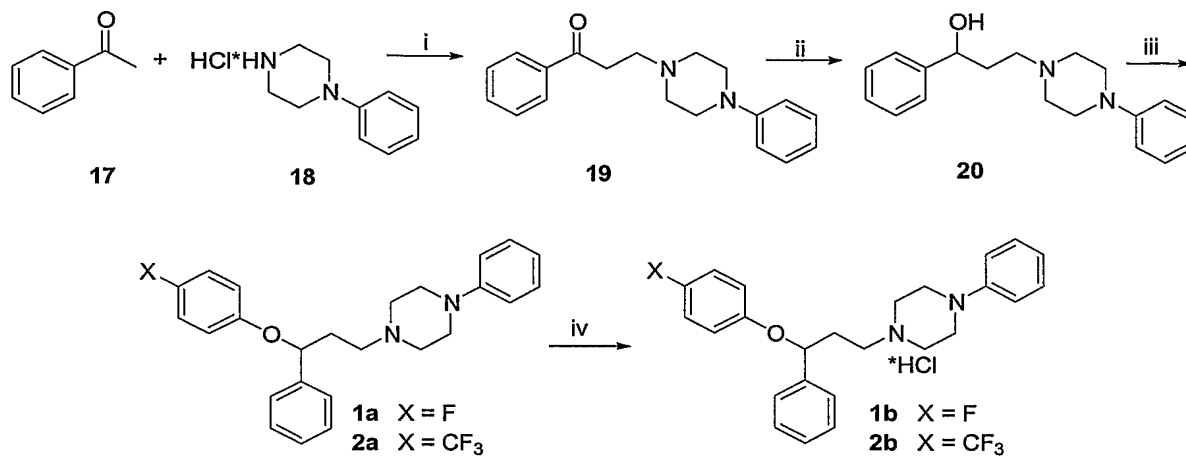
nefazodone

Figure 4

X = F or CF₃
n = 0 or 1 (ethyl or propyl chain)
A = N or C (piperazine or piperidine)
Ar = variety of aryl derivatives

Figure 5

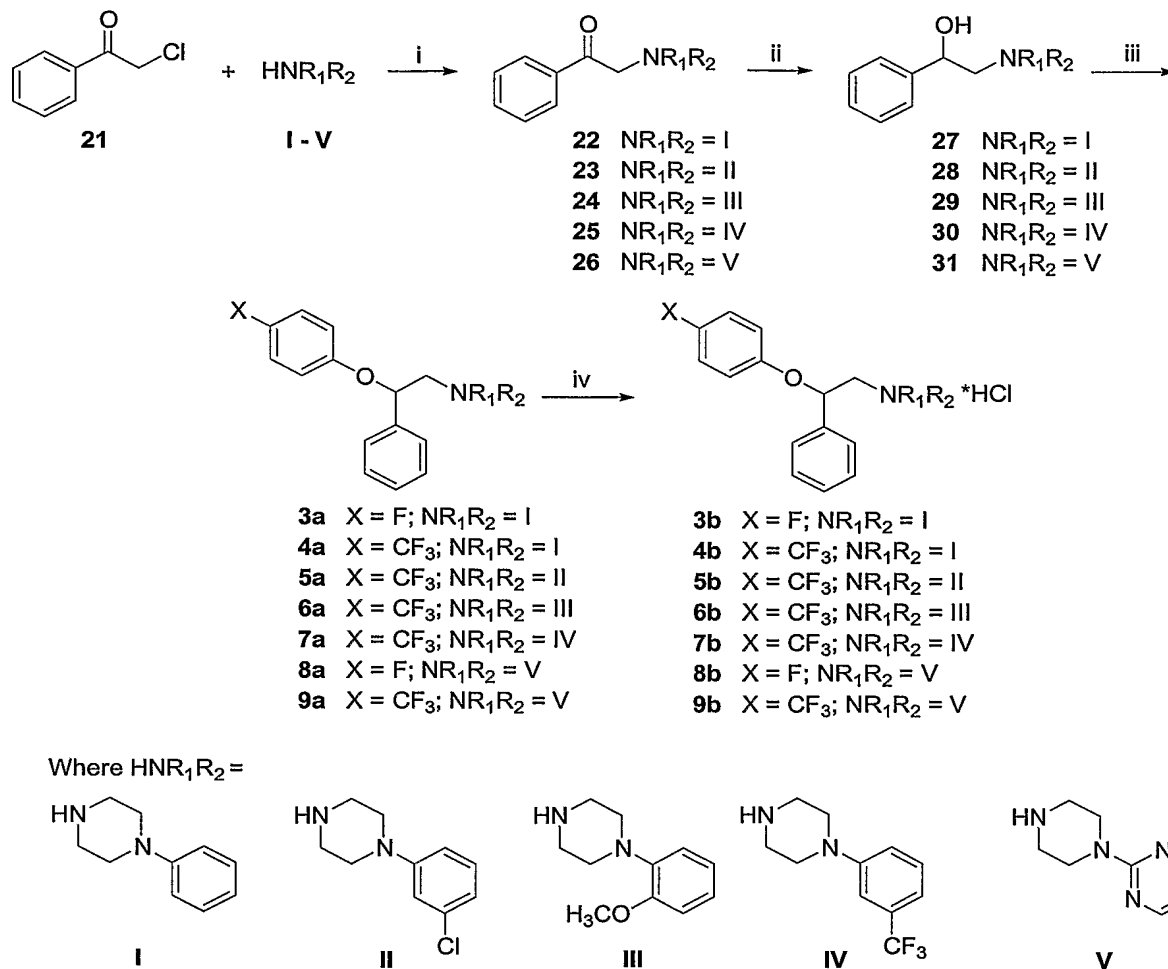
Scheme 1. Synthesis of Homologues Type II – Compounds 1 and 2.



Reagents and conditions: (i) Paraformaldehyde, cat. HCl, reflux 6h, 62%; (ii) NaBH₄ at 0°C, 8h at rt, 44-66%; (iv) THF, 4-fluorophenol or 4-trifluoromethylphenol, PPh₃, DIAD dropwise at 0°C, 48h at rt, 54-72%; (v) 2M HCl in anhydrous ether, 41-46%.

Figure 6

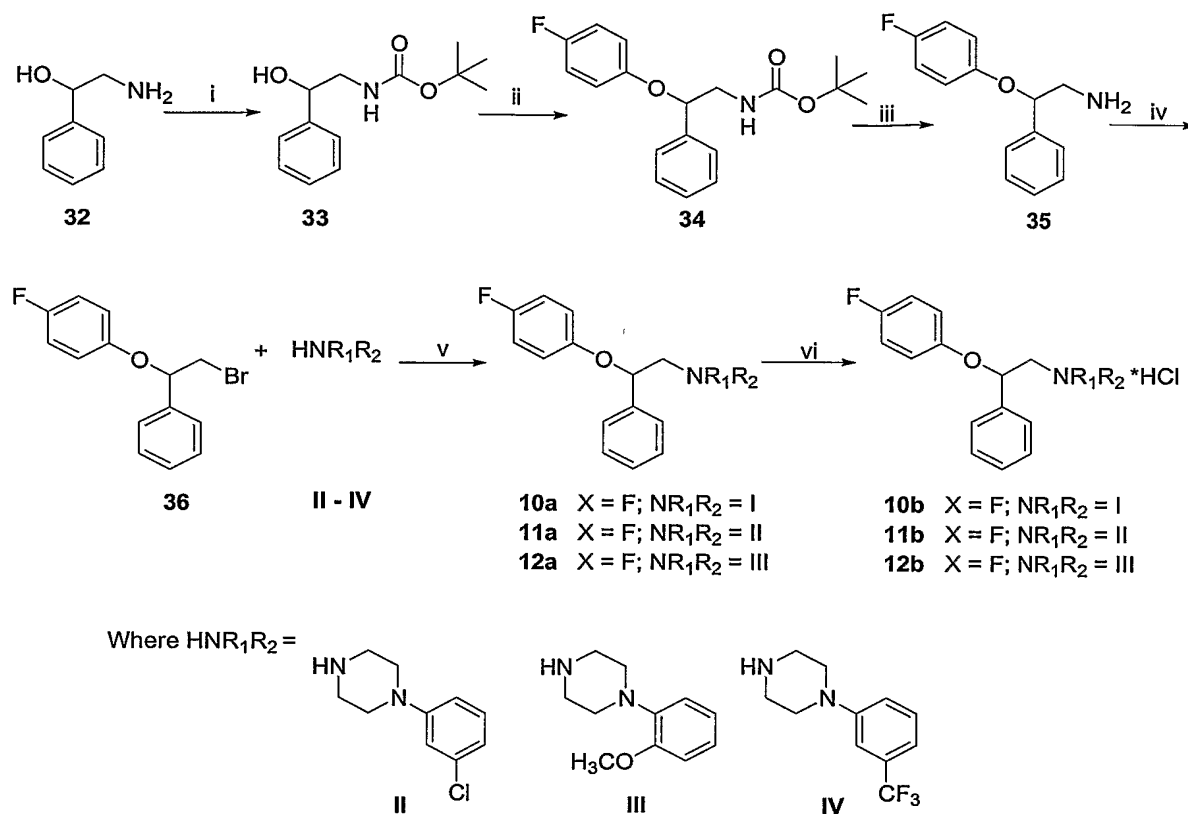
Scheme 2. Synthesis of Homologues Type I – Compounds 3 to 9.



Reagents and conditions: (i) K_2CO_3 , Acetonitrile, reflux 16h, 53-59%; (ii) NaBH_4 at 0°C , 8h at rt, 91-95%; (iii) THF, 4-fluorophenol or 4-trifluoromethylphenol, PPh_3 , DIAD dropwise at 0°C , 48h at rt, 40%; (iv) 2 M HCl in anhydrous ether, 75-81%.

Figure 7

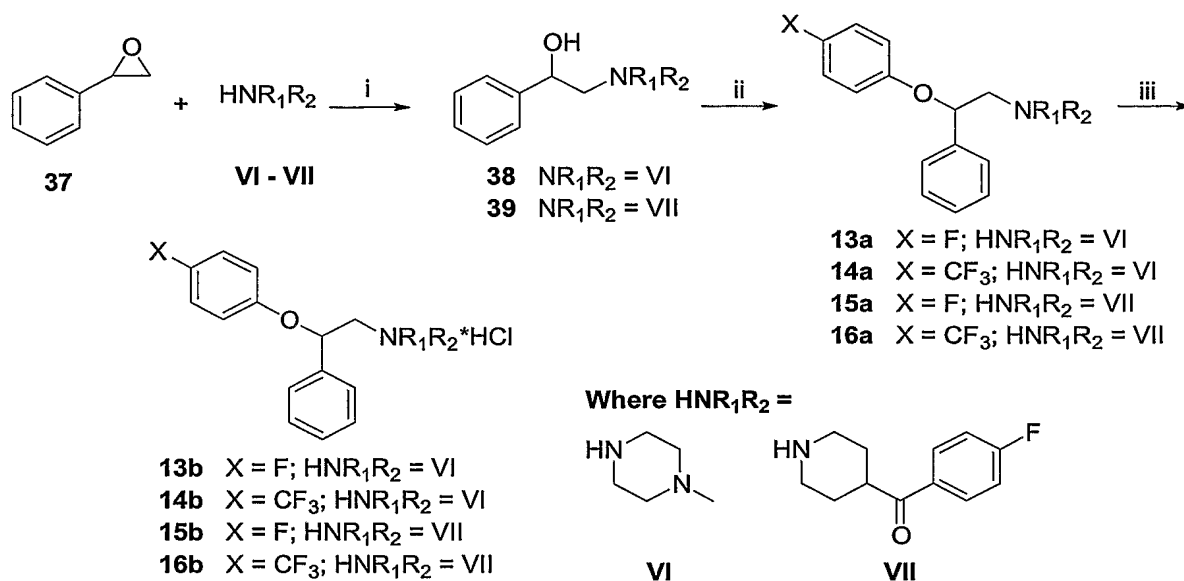
Scheme 3. Synthesis of Homologues Type I – Compounds 10 to 12.



Reagents and Conditions: i) t-boc anhydride, DMF, 15 min at 50°C, 8h at rt, 78%; ii) DMF, 4-fluorophenol, PPh₃, DEAD dropwise at 0°C, 8h at rt, 43%; iii) 4N HCl in Dioxane, 1.5h at rt, 64%; iv) DMF, TiBr₄, t-butyl nitrite, 1 h at rt, 33%; v) K₂CO₃, DMF, reflux 16-18h, 18-39%; vi) 2M HCl in anhydrous ether, 9-68%.

Figure 8

Scheme 4. Synthesis of Homologues Type I – Compounds 13 to 16.



Reagents and conditions: (i) K_2CO_3 , Dichloromethane, reflux 16h, 53-59%; (ii) THF, 4-fluorophenol or 4-trifluoromethylphenol, PPh_3 , DIAD dropwise at 0°C , 48h at rt, 40%; (iii) 2 M HCl in anhydrous ether, 75-81%.

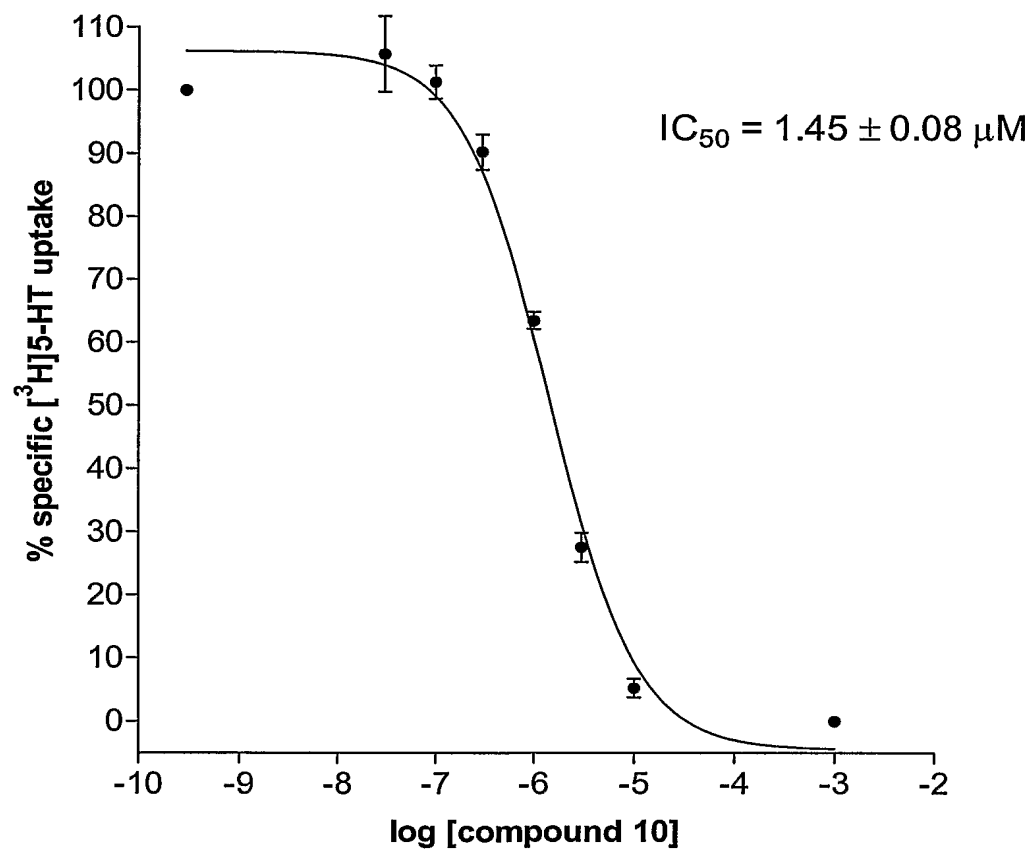
Figure 9

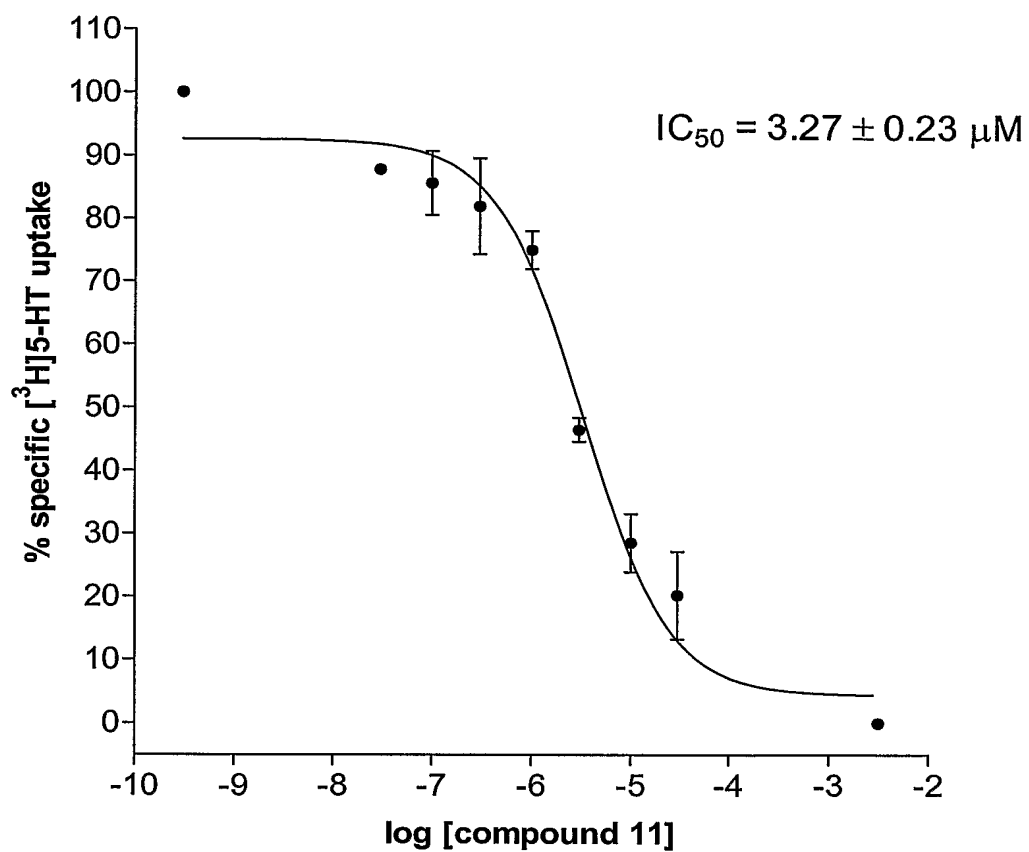
Figure 10

Figure 11